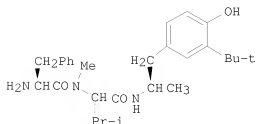
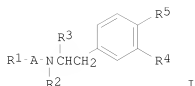


reference

L16 ANSWER 2 OF 2 HCAPLUS COPYRIGHT 2008 ACS on STN  
 ACCESSION NUMBER: 1999:139868 HCAPLUS  
 DOCUMENT NUMBER: 130:196958  
 TITLE: Preparation of 3-tert-butyl-L-tyrosinamide-containing  
 peptides and related compounds exhibiting a motilin  
 receptor antagonism  
 INVENTOR(S): Kotake, Ken-ichiro; Kozono, Toshiro; Sato,  
 Tsutomu; Takanashi, Hisanori  
 PATENT ASSIGNEE(S): Chugai Seiyaku Kabushiki Kaisha, Japan  
 SOURCE: PCT Int. Appl., 144 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: Japanese  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9909053	A1	19990225	WO 1998-JP3627	19980814
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, GM, HR, HU, ID, IL, IS, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW				
RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
TW 460478	B	20011021	TW 1998-87113211	19980811
CA 2301687	A1	19990225	CA 1998-2301687	19980814
AU 9886490	A	19990308	AU 1998-86490	19980814
AU 741216	B2	20011129		
JP 2000044595	A	20000215	JP 1998-229586	19980814
JP 3583928	B2	20041104		
EP 1006122	A1	20000607	EP 1998-937826	19980814
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
US 6255285	B1	20010703	US 2000-485620	20000215
PRIORITY APPLN. INFO.:			JP 1997-255879	A 19970815
			JP 1998-186802	A 19980528
			WO 1998-JP3627	W 19980814
OTHER SOURCE(S):	MARPAT 130:196958			
GI				

reference



AB Phenethylamine derivs. represented by general formula [I; wherein A represents an amino acid or  $\alpha$ -substituted amino acid residue; R1 represents R6CO, (un)substituted C2-7 linear or branched alkyl, C3-8 alkenyl, or C3-8 alkynyl; R2 represents hydrogen, C1-3 linear or branched alkyl; R3 represents COR7, (un)substituted C1-5 linear or branched alkyl, C2-5 alkenyl, or C2-5 alkynyl; R4 represents H, C1-6 linear or branched alkyl, C2-6 alkenyl, C2-6 alkynyl, etc.; R5 represents hydroxy or C1-4 n-alkoxy; R6 represents (un)substituted C1-6 linear or branched alkyl, C2-7 alkenyl, or C2-7 alkynyl, optionally benzene- or heterocyclic ring-condensed C3-7 cycloalkyl, (un)substituted C6-12 aromatic ring, (un)substituted C3-12 (un)saturated heterocyclic ring, (un)substituted NH2, (un)substituted linear or branched C1-5 alkoxy, C2-6 alkenyloxy, C2-6 alkynyloxy, etc.; and R7 represents H, (un)substituted C1-5 linear or branched alkyl, C3-7 cycloalkyl, (un)substituted NH2, OH, linear or branched alkyl C1-6 alkoxy, or C3-7 cycloalkyloxy] are prepared Also claimed are a motilin receptor antagonist, an inhibitor of digestive tract motility, and a remedy for high blood motilin. They are also useful for the treatment of irritable bowel syndrome. Thus, N $\alpha$ -methyl-N-[2-(3-tert-butyl-4-hydroxyphenyl)-1-methylethyl]-L-valinamide was condensed with Boc-Phe-OH using HOBt and DIC in DMF at room temperature for 2.5 days followed by deprotection with CF3CO2H in CH2Cl2 to

give the title compound (II). II in vitro showed IC50 of 1.9 nM for inhibiting the binding of [125I]motilin motilin receptor preparation from rabbit ileum mucous membrane.

IT 220806-45-1P 220806-47-3P 220806-49-5P  
220806-51-9P 220806-59-7P 220806-61-1P  
220806-63-3P 220806-71-3P 220806-75-7P  
220806-77-9P 220806-79-1P 220806-81-5P  
220806-83-7P 220806-85-9P 220806-87-1P  
220806-89-3P 220806-91-7P 220806-93-9P

reference

220806-95-1P 220806-97-3P 220806-99-5P  
220807-01-2P 220807-03-4P 220807-05-6P  
220807-07-8P 220807-09-0P 220807-11-4P  
220807-19-2P 220808-16-2P 220808-17-3P  
220808-18-4P 220808-19-5P 220808-20-8P  
220808-27-5P 220808-28-6P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of 3-tert-butyl-L-tyrosinamide-containing peptide compds. as motilin receptor antagonists, inhibitors of digestive tract motility, and remedy for high blood motilin)

RN 220806-45-1 HCAPLUS

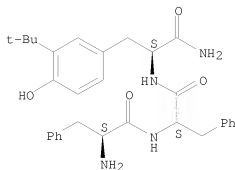
CN L-Tyrosinamide, L-phenylalanyl-L-phenylalanyl-3-(1,1-dimethylethyl)-, mono(trifluoroacetate) (salt) (9CI) (CA INDEX NAME)

CM 1

CRN 220806-44-0

CMF C31 H38 N4 O4

Absolute stereochemistry.



CM 2

CRN 76-05-1

CMF C2 H F3 O2



RN 220806-47-3 HCAPLUS

CN L-Tyrosinamide, L-phenylalanyl-L-valyl-3-(1,1-dimethylethyl)-, mono(trifluoroacetate) (salt) (9CI) (CA INDEX NAME)

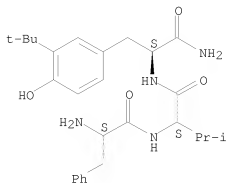
CM 1

Updated Search

reference

CRN 220806-46-2  
CMF C27 H38 N4 O4

Absolute stereochemistry.



CM 2

CRN 76-05-1  
CMF C2 H F3 O2



RN 220806-49-5 HCAPLUS  
CN L-Tyrosinamide, L-phenylalanyl-L-alanyl-3-(1,1-dimethylethyl)-,  
mono(trifluoroacetate) (salt) (9CI) (CA INDEX NAME)

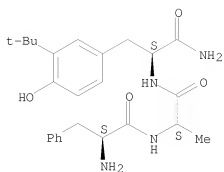
CM 1

CRN 220806-48-4  
CMF C25 H34 N4 O4

Absolute stereochemistry.

Updated Search

reference



CM 2

CRN 76-05-1

CMF C2 H F3 O2



RN 220806-51-9 HCAPLUS

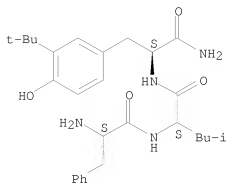
CN L-Tyrosinamide, L-phenylalanyl-L-leucyl-3-(1,1-dimethylethyl)-, mono(trifluoroacetate) (salt) (9CI) (CA INDEX NAME)

CM 1

CRN 220806-50-8

CMF C28 H40 N4 O4

Absolute stereochemistry.



CM 2

Updated Search

reference

CRN 76-05-1  
CMF C2 H F3 O2

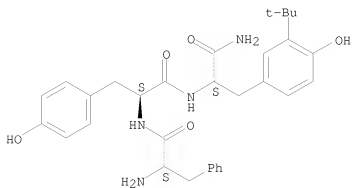


RN 220806-59-7 HCAPLUS  
CN L-Tyrosinamide, L-phenylalanyl-L-tyrosyl-3-(1,1-dimethylethyl)-,  
mono(trifluoroacetate) (salt) (9CI) (CA INDEX NAME)

CM 1

CRN 220806-58-6  
CMF C31 H38 N4 O5

Absolute stereochemistry.



CM 2

CRN 76-05-1  
CMF C2 H F3 O2



RN 220806-61-1 HCAPLUS  
CN L-Tyrosinamide, L-phenylalanyl-(αS)-α-aminobenzenebutanoyl-3-  
(1,1-dimethylethyl)-, mono(trifluoroacetate) (salt) (9CI) (CA INDEX NAME)

Updated Search

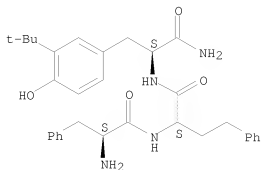
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CM 1

CRN 220806-60-0

CMF C32 H40 N4 O4

Absolute stereochemistry.



CM 2

CRN 76-05-1

CMF C2 H F3 O2



RN 220806-63-3 HCAPLUS

CN L-Tyrosinamide, L-phenylalanyl-3-(2-thienyl)-L-alanyl-3-(1,1-dimethylethyl)-, mono(trifluoroacetate) (salt) (9CI) (CA INDEX NAME)

CM 1

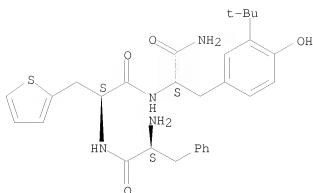
CRN 220806-62-2

CMF C29 H36 N4 O4 S

Absolute stereochemistry.

Updated Search

reference



CM 2

CRN 76-05-1

CMF C2 H F3 O2



RN 220806-71-3 HCAPLUS

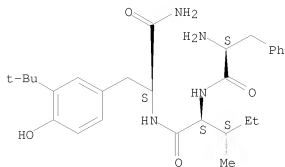
CN L-Tyrosinamide, L-phenylalanyl-L-isoleucyl-3-(1,1-dimethylethyl)-, mono(trifluoroacetate) (salt) (9CI) (CA INDEX NAME)

CM 1

CRN 220806-70-2

CMF C28 H40 N4 O4

Absolute stereochemistry.



CM 2

Updated Search



reference

CRN 76-05-1  
CMF C2 H F3 O2

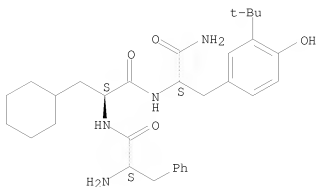


RN 220806-75-7 HCAPLUS  
CN L-Tyrosinamide, L-phenylalanyl-3-cyclohexyl-L-alanyl-3-(1,1-dimethylethyl)-, mono(trifluoroacetate) (salt) (9CI) (CA INDEX NAME)

CM 1

CRN 220806-74-6  
CMF C31 H44 N4 O4

Absolute stereochemistry.



CM 2

CRN 76-05-1  
CMF C2 H F3 O2



RN 220806-77-9 HCAPLUS  
CN L-Tyrosinamide, L-phenylalanyl-3-methyl-L-valyl-3-(1,1-dimethylethyl)-, mono(trifluoroacetate) (salt) (9CI) (CA INDEX NAME)

Updated Search

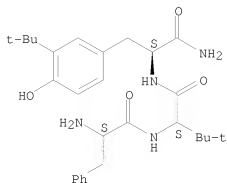
reference

CM 1

CRN 220806-76-8

CMF C28 H40 N4 O4

Absolute stereochemistry.



CM 2

CRN 76-05-1

CMF C2 H F3 O2



RN 220806-79-1 HCAPLUS

CN L-Tyrosinamide, L-phenylalanyl-L- $\alpha$ -aspartyl-3-(1,1-dimethylethyl)-, mono(trifluoroacetate) (salt) (9CI) (CA INDEX NAME)

CM 1

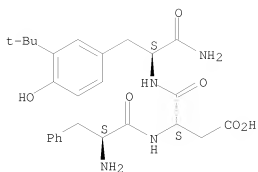
CRN 220806-78-0

CMF C26 H34 N4 O6

Absolute stereochemistry.

Updated Search

reference



CM 2

CRN 76-05-1

CMF C2 H F3 O2



RN 220806-81-5 HCAPLUS

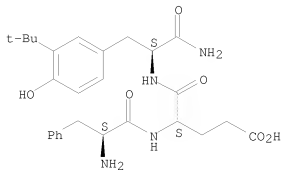
CN L-Tyrosinamide, L-phenylalanyl-L- $\alpha$ -glutamyl-3-(1,1-dimethylethyl)-, mono(trifluoroacetate) (salt) (9CI) (CA INDEX NAME)

CM 1

CRN 220806-80-4

CMF C27 H36 N4 O6

Absolute stereochemistry.



CM 2

Updated Search

reference

CRN 76-05-1  
CMF C2 H F3 O2

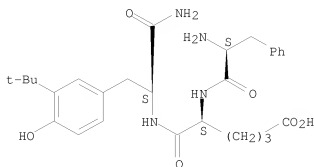


RN 220806-83-7 HCAPLUS  
CN L-Tyrosinamide, L-phenylalanyl-5-carboxy-L-norvalyl-3-(1,1-dimethylethyl)-, mono(trifluoroacetate) (salt) (9CI) (CA INDEX NAME)

CM 1

CRN 220806-82-6  
CMF C28 H38 N4 O6

Absolute stereochemistry.



CM 2

CRN 76-05-1  
CMF C2 H F3 O2



RN 220806-85-9 HCAPLUS  
CN L-Tyrosinamide, L-phenylalanyl-L-asparaginyl-3-(1,1-dimethylethyl)-, mono(trifluoroacetate) (salt) (9CI) (CA INDEX NAME)

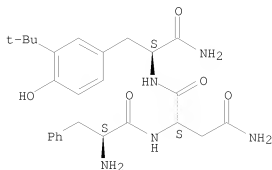
CM 1

Updated Search

reference

CRN 220806-84-8  
CMF C26 H35 N5 O5

Absolute stereochemistry.



CM 2

CRN 76-05-1  
CMF C2 H F3 O2

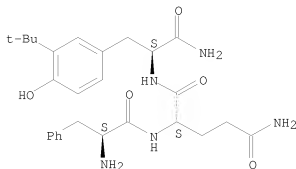


RN 220806-87-1 HCAPLUS  
CN L-Tyrosinamide, L-phenylalanyl-L-glutamyl-3-(1,1-dimethylethyl)-,  
mono(trifluoroacetate) (salt) (9CI) (CA INDEX NAME)

CM 1

CRN 220806-86-0  
CMF C27 H37 N5 O5

Absolute stereochemistry.



Updated Search

CRN 76-05-1  
CMF C2 H F3 O2



CRN 220806-88-2  
CMF C28 H39 N5 O5

CRN 76-05-1  
CMF C2 H F3 O2



Updated Search

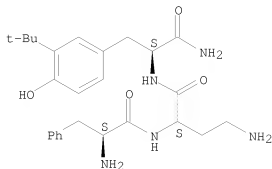
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CM 1

CRN 220806-90-6

CMF C26 H37 N5 O4

Absolute stereochemistry.



CM 2

CRN 76-05-1

CMF C2 H F3 O2



RN 220806-93-9 HCAPLUS

CN L-Tyrosinamide, L-phenylalanyl-L-ornithyl-3-(1,1-dimethylethyl)-, mono(trifluoroacetate) (salt) (9CI) (CA INDEX NAME)

CM 1

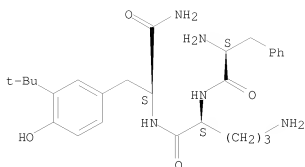
CRN 220806-92-8

CMF C27 H39 N5 O4

Absolute stereochemistry.

Updated Search

reference



CM 2

CRN 76-05-1

CMF C2 H F3 O2



RN 220806-95-1 HCAPLUS

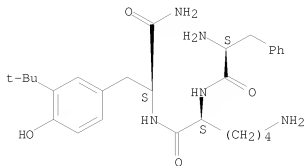
CN L-Tyrosinamide, L-phenylalanyl-L-lysyl-3-(1,1-dimethylethyl)-, mono(trifluoroacetate) (salt) (9CI) (CA INDEX NAME)

CM 1

CRN 220806-94-0

CMF C28 H41 N5 O4

Absolute stereochemistry.



CM 2

Updated Search



reference

CRN 76-05-1  
CMF C2 H F3 O2

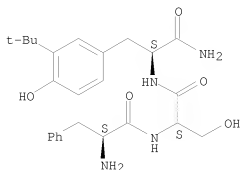


RN 220806-97-3 HCAPLUS  
CN L-Tyrosinamide, L-phenylalanyl-L-seryl-3-(1,1-dimethylethyl)-,  
mono(trifluoroacetate) (salt) (9CI) (CA INDEX NAME)

CM 1

CRN 220806-96-2  
CMF C25 H34 N4 O5

Absolute stereochemistry.



CM 2

CRN 76-05-1  
CMF C2 H F3 O2



RN 220806-99-5 HCAPLUS  
CN L-Tyrosinamide, L-phenylalanyl-L-homoseryl-3-(1,1-dimethylethyl)-,  
mono(trifluoroacetate) (salt) (9CI) (CA INDEX NAME)

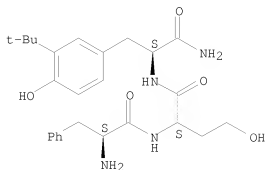
CM 1

Updated Search

reference

CRN 220806-98-4  
CMF C26 H36 N4 O5

Absolute stereochemistry.



CM 2

CRN 76-05-1  
CMF C2 H F3 O2

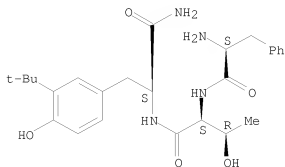


RN 220807-01-2 HCAPLUS  
CN L-Tyrosinamide, L-phenylalanyl-L-threonyl-3-(1,1-dimethylethyl)-,  
mono(trifluoroacetate) (salt) (9CI) (CA INDEX NAME)

CM 1

CRN 220807-00-1  
CMF C26 H36 N4 O5

Absolute stereochemistry.



Updated Search

reference

CM 2

CRN 76-05-1

CMF C2 H F3 O2



RN 220807-03-4 HCAPLUS

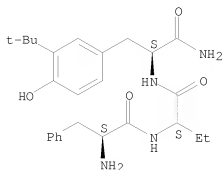
CN L-Tyrosinamide, L-phenylalanyl-(2S)-2-aminobutanoyl-3-(1,1-dimethylethyl)-, mono(trifluoroacetate) (salt) (9CI) (CA INDEX NAME)

CM 1

CRN 220807-02-3

CMF C26 H36 N4 O4

Absolute stereochemistry.



CM 2

CRN 76-05-1

CMF C2 H F3 O2



RN 220807-05-6 HCAPLUS

CN L-Tyrosinamide, L-phenylalanyl-L-norvalyl-3-(1,1-dimethylethyl)-, mono(trifluoroacetate) (salt) (9CI) (CA INDEX NAME)

Updated Search

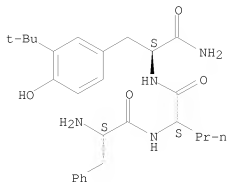
reference

CM 1

CRN 220807-04-5

CMF C27 H38 N4 O4

Absolute stereochemistry.



CM 2

CRN 76-05-1

CMF C2 H F3 O2



RN 220807-07-8 HCAPLUS

CN L-Tyrosinamide, L-phenylalanyl-L-methionyl-3-(1,1-dimethylethyl)-, mono(trifluoroacetate) (salt) (9CI) (CA INDEX NAME)

CM 1

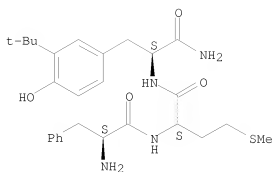
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CMF C27 H38 N4 O4 S

Absolute stereochemistry.

Updated Search

reference



CM 2

CRN 76-05-1

CMF C2 H F3 O2



RN 220807-09-0 HCAPLUS

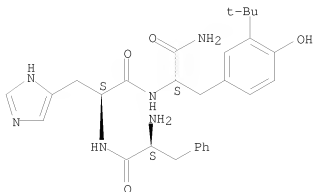
CN L-Tyrosinamide, L-phenylalanyl-L-histidyl-3-(1,1-dimethylethyl)-, mono(trifluoroacetate) (salt) (9CI) (CA INDEX NAME)

CM 1

CRN 220807-08-9

CMF C28 H36 N6 O4

Absolute stereochemistry.



CM 2

Updated Search

reference

CRN 76-05-1  
CMF C2 H F3 O2

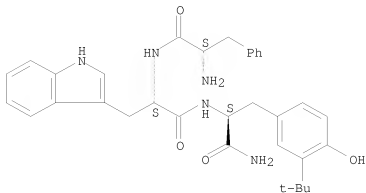


RN 220807-11-4 HCAPLUS  
CN L-Tyrosinamide, L-phenylalanyl-L-tryptophyl-3-(1,1-dimethylethyl)-,  
mono(trifluoroacetate) (salt) (9CI) (CA INDEX NAME)

CM 1

CRN 220807-10-3  
CMF C33 H39 N5 O4

Absolute stereochemistry.



CM 2

CRN 76-05-1  
CMF C2 H F3 O2

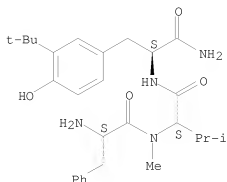


RN 220807-19-2 HCAPLUS  
CN L-Tyrosinamide, L-phenylalanyl-N-methyl-L-valyl-3-(1,1-dimethylethyl)-  
(9CI) (CA INDEX NAME)

Updated Search

reference

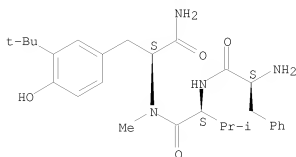
Absolute stereochemistry.



RN 220808-16-2 HCAPLUS

CN L-Tyrosinamide, L-phenylalanyl-L-valyl-3-(1,1-dimethylethyl)-N-methyl- (9CI) (CA INDEX NAME)

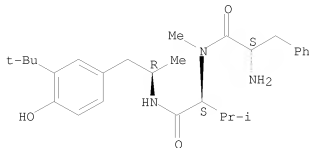
Absolute stereochemistry.



RN 220808-17-3 HCAPLUS

CN L-Valinamide, L-phenylalanyl-N-[(1R)-2-[3-(1,1-dimethylethyl)-4-hydroxyphenyl]-1-methylethyl]-N2-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



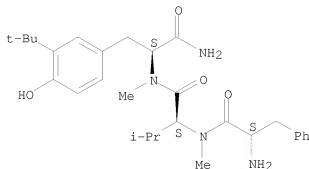
RN 220808-18-4 HCAPLUS

Updated Search

reference

CN L-Tyrosinamide, L-phenylalanyl-N-methyl-L-valyl-3-(1,1-dimethylethyl)-  
N $\alpha$ -methyl- (9CI) (CA INDEX NAME)

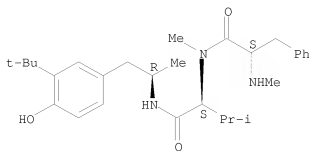
Absolute stereochemistry.



RN 220808-19-5 HCAPLUS

CN L-Valinamide, N-methyl-L-phenylalanyl-N-[(1R)-2-[3-(1,1-dimethylethyl)-4-  
hydroxyphenyl]-1-methylethyl]-N2-methyl- (9CI) (CA INDEX NAME)

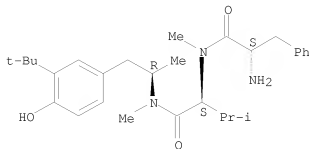
Absolute stereochemistry.



RN 220808-20-8 HCAPLUS

CN L-Valinamide, L-phenylalanyl-N-[(1R)-2-[3-(1,1-dimethylethyl)-4-  
hydroxyphenyl]-1-methylethyl]-N,N2-dimethyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



Updated Search

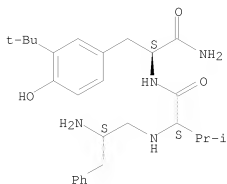


reference

RN 220808-27-5 HCAPLUS

L-Tyrosinamide, N-[(2S)-2-amino-3-phenylpropyl]-L-valyl-3-(1,1-dimethylethyl)- (9CI) (CA INDEX NAME)

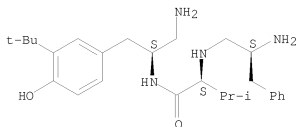
Absolute stereochemistry.



RN 220808-28-6 HCAPLUS

Butanamide, N-[(1S)-2-amino-1-[[3-(1,1-dimethylethyl)-4-hydroxyphenyl]methyl]ethyl]-2-[[ (2S)-2-amino-3-phenylpropyl]amino]-3-methyl-, (2S)- (CA INDEX NAME)

Absolute stereochemistry.



IT 220808-36-6P 220808-44-6P 220808-74-2P

220808-80-0P 220808-85-5P 220808-89-9P

220808-90-2P 220808-96-8P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of 3-tert-butyl-L-tyrosinamide-containing peptide compds. as motilin receptor antagonists, inhibitors of digestive tract motility, and remedy for high blood motilin)

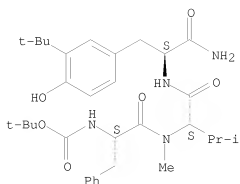
RN 220808-36-6 HCAPLUS

CN L-Tyrosinamide, N-[(1,1-dimethylethoxy)carbonyl]-L-phenylalanyl-N-methyl-L-  
valyl-3-(1,1-dimethylethyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

Updated Search

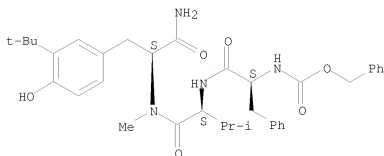
reference



RN 220808-44-6 HCAPLUS

CN L-Tyrosinamide, N-[(phenylmethoxy)carbonyl]-L-phenylalanyl-L-valyl-3-(1,1-dimethylethyl)- (9CI) (CA INDEX NAME)

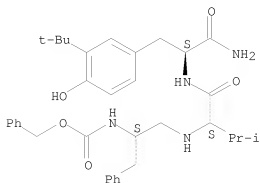
Absolute stereochemistry.



RN 220808-74-2 HCAPLUS

CN L-Tyrosinamide, N-[(2S)-3-phenyl-2-[[ (phenylmethoxy)carbonyl]amino]propyl]-L-valyl-3-(1,1-dimethylethyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



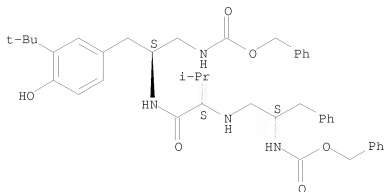
RN 220808-80-0 HCAPLUS

Updated Search

reference

CN 2,5,8,11-Tetraazadodecanedioic acid,  
9-[[3-(1,1-dimethylethyl)-4-hydroxyphenyl]methyl]-6-(1-methylethyl)-7-oxo-  
3-(phenylmethyl)-, 1,12-bis(phenylmethyl) ester, (3S,6S,9S)- (CA INDEX  
NAME)

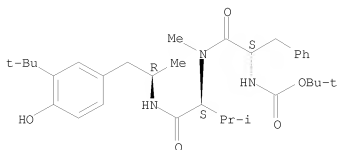
Absolute stereochemistry.



RN 220808-85-5 HCAPLUS

CN L-Valinamide, N-[(1,1-dimethylethoxy)carbonyl]-L-phenylalanyl-N-[(1R)-2-[3-(1,1-dimethylethyl)-4-hydroxyphenyl]-1-methylethyl]-N2-methyl- (9CI) (CA  
INDEX NAME)

Absolute stereochemistry.



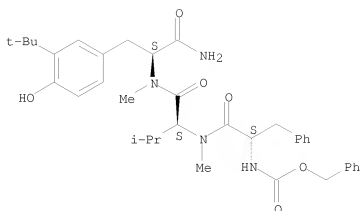
RN 220808-89-9 HCAPLUS

CN L-Tyrosinamide, N-[(phenylmethoxy)carbonyl]-L-phenylalanyl-N-methyl-L-  
valyl-3-(1,1-dimethylethyl)-Nα-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

Updated Search

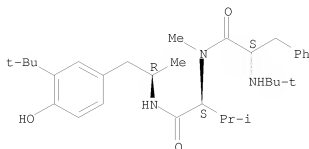
reference



RN 220808-90-2 HCAPLUS

CN L-Valinamide, N-[(1,1-dimethylethyl)-L-phenylalanyl-N-[(1R)-2-[3-(1,1-dimethylethyl)-4-hydroxyphenyl]-1-methylethyl]-N2-methyl- (9CI) (CA INDEX NAME)

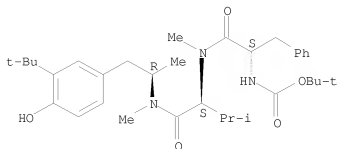
Absolute stereochemistry.



RN 220808-96-8 HCAPLUS

CN L-Valinamide, N-[(1,1-dimethylethoxy)carbonyl]-L-phenylalanyl-N-[(1R)-2-[3-(1,1-dimethylethyl)-4-hydroxyphenyl]-1-methylethyl]-N,N2-dimethyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



Updated Search

reference

REFERENCE COUNT:

6

THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS  
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

Updated Search